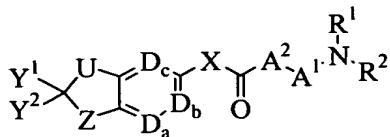


WHAT IS CLAIMED IS:

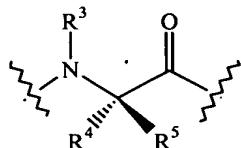
- 1 1. A compound of the formula:



wherein

R¹ and R² are each members independently selected from the group consisting of hydrogen, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl, heteroaryl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl, and heteroaryl(C₁-C₈)heteroalkyl, with the proviso that at least one of R¹ and R² is selected from the group consisting of aryl, heteroaryl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl and heteroaryl(C₁-C₈)heteroalkyl;

A¹ is a member selected from the group consisting of L-α-amino acid fragments, D-α-amino acid fragments and fragments having the formula:



wherein

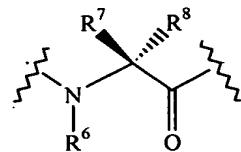
R³ is selected from the group consisting of hydrogen and (C₁-C₄) alkyl;

R⁴ and R⁵ are each members independently selected from the group

consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be

individually combined with R³ to form a 5-, 6-, 7- or 8-membered ring containing from one to three heteroatoms;

A² is a member selected from the group consisting of L-α-amino acid fragments, D-α-amino acid fragments and fragments having the formula:



wherein

R⁶ is selected from the group consisting of hydrogen and (C₁-C₄)alkyl;

R⁷ and R⁸ are each members independently selected from the group

consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms;

28 X is a member selected from the group consisting of a bond, a (C₁-C₄)
29 saturated or unsaturated alkylene linking group and a (C₁-C₄) saturated or unsaturated
30 heteroalkylene linking group;

31 D_a, D_b and D_c are each independently selected from the group consisting of
32 =N- and =C(R⁹)-

33 wherein

each R⁹ is independently selected from the group consisting of hydrogen, halogen, cyano, nitro, (C₁-C₆)alkyl, (C₁-C₆)heteroalkyl, (C₁-C₆)alkoxy, (C₁-C₆)thioalkoxy, -NR¹⁰R¹¹, -C(O)OR¹⁰, -C(O)NR¹⁰R¹¹, -O-C(O)OR¹⁰, -NR¹¹-C(O)OR¹⁰, -NR¹⁰-SO₂R¹², -NR¹⁰-C(O)R¹¹, -SO₂NR¹⁰R¹¹, and -OC(O)NR¹⁰R¹¹;

38 wherein

each R¹⁰ and R¹¹ are each independently a member selected from the group consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or when attached to the same nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms; and

each R¹² is independently a member selected from the group consisting of (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl and heteroaryl;

45 U and Z are each independently selected from the group consisting of a single
46 bond, -CH₂- , -CH(OH)-, -C(O)-, -CH₂O-, -CH₂CH₂- , -CH₂C(O)-, -O-, -S-, -S-CH₂- , -N(C(O)-
47 (C₁-C₉)alkyl)-, -N(R¹³)- and -N(R¹³)-CH₂-;

48 wherein

each R¹³ is a member selected from the group consisting of hydrogen, (C₁-C₈)alkyl, aryl and (C₁-C₈)heteroalkyl;

51 Y¹ and Y² are each independently selected from the group consisting of –
52 CO₂H and -CO₂R¹⁴; and

53 R¹⁴ is a member selected from the group consisting of (C₁-C₉)alkyl, and (C₁-
 54 C₉)heteroalkyl, or, alternatively, when Y¹ and Y² are each -CO₂R¹⁴, each R¹⁴ and the oxygen
 55 to which it is attached, join to form a 5-, 6-, 7- or 8-membered heterocyclic ring.

2. The compound of claim 1, wherein D_a, D_b and D_c are each =CH-.

1 3. The compound of claim 1, wherein X is a (C₂-C₄) unsaturated alkylene
2 linking group.

1 4. The compound of claim 1, wherein A¹ is selected from the group
2 consisting of L- α -amino acid fragments.

1 5. The compound of claim 1, wherein A² is selected from the group
2 consisting of L- α -amino acid fragments.

1 6. The compound of claim 1, wherein A¹ and A² are each independently
2 selected from the group consisting of L- α -amino acid fragments.

1 7. The compound of claim 1, wherein A¹ and A² are each independently
2 selected from the group consisting of L- α -amino acid fragments; X is a (C₂-C₄) unsaturated
3 alkylene linking group; and D_a, D_b and D_c are each =CH-.

1 8. The compound of claim 1, wherein U is selected from the group
2 consisting of -CH₂- and -CH(OH)-.

1 9. The compound of claim 1, wherein Z is selected from the group
2 consisting of -CH₂-, -O-, -NH- and -S-.

1 10. The compound of claim 1, wherein U is selected from the group
2 consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of -CH₂-, -O-
3 , -NH- and -S-.

1 11. The compound of claim 1, wherein A¹ and A² are each independently
2 selected from the group consisting of a natural or unnatural L- α -amino acid fragments; X is a
3 (C₂-C₄) unsaturated alkylene linking group; D_a, D_b and D_c are each =CH-; U is selected from
4 the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of
5 -CH₂-, -O-, -NH- and -S-.

1 12. The compound of claim 11, wherein X is an unsaturated alkylene
2 moiety selected from the group consisting of -C(CH₃)=CH and -CH=C(CH₃).

1 13. The compound of claim 1, wherein R¹ and R² are each members
2 independently selected from the group consisting of (C₁-C₈)alkyl, aryl and aryl(C₁-C₈)alkyl.

1 **14.** The compound of claim 11, wherein R¹ and R² are each members
2 independently selected from the group consisting of (C₁-C₈)alkyl, aryl and aryl(C₁-C₈)alkyl.

1 **15.** The compound of claim 1, wherein R¹ is an optionally substituted
2 phenyl group.

1 **16.** The compound of claim 1, wherein R¹ is an optionally substituted
2 phenyl group and R² is an optionally substituted benzyl group.

1 **17.** The compound of claim 11, wherein R¹ is an optionally substituted
2 phenyl group.

1 **18.** The compound of claim 11, wherein R¹ is an optionally substituted
2 phenyl group and R² is an optionally substituted benzyl group.

1 **19.** The compound of claim 1, wherein R¹ is an optionally substituted (C₁-
2 C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally substituted phenyl or benzyl
3 group.

1 **20.** The compound of claim 1, wherein R¹ is a phenyl group substituted
2 with up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -
3 CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-
4 nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -
5 C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).

1 **21.** The compound of claim 11, wherein R¹ is an optionally substituted
2 (C₁-C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally substituted phenyl or benzyl
3 group.

1 **22.** The compound of claim 11, wherein R¹ is a phenyl group substituted
2 with up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -
3 CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-
4 nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -
5 C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).

1 **23.** The compound of claim 11, wherein Z is -O-; R¹ is a member selected
2 from the group consisting of an optionally substituted phenyl group or an optionally

3 substituted heteroaryl; and R² is a member selected from the group consisting of (C₁-C₈)alkyl,
4 (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl and
5 heteroaryl(C₁-C₈)heteroalkyl.

1 **24.** The compound of claim 4, wherein A¹ is an L- α -amino acid fragment
2 derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline.

1 **25.** The compound of claim 5, wherein A² is an L- α -amino acid fragment
2 derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-
3 *tert*-butylglycine.

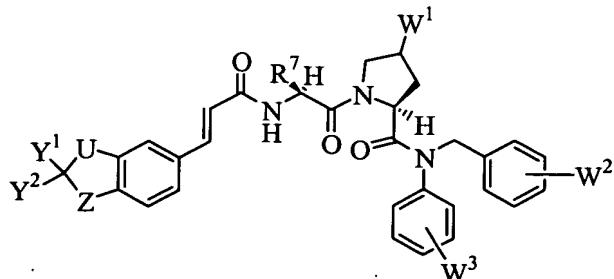
1 **26.** The compound of claim 11, wherein A¹ is an L- α -amino acid fragment
2 derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline; and A² is an L- α -
3 amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine,
4 L-threonine and L-*tert*-butylglycine.

1 **27.** The compound of claim 26, wherein R¹ and R² are each members
2 independently selected from the group consisting of substituted or unsubstituted (C₁-C₈)alkyl,
3 substituted or unsubstituted aryl and substituted or unsubstituted aryl(C₁-C₈)alkyl.

1 **28.** The compound of claim 27, wherein A¹ is an L- α -amino acid fragment
2 derived from L-alanine or L-proline; and A² is an L- α -amino acid fragment derived from L-
3 valine, L-leucine, L-isoluecine, or L-*tert*-butylglycine.

1 **29.** The compound of claim 27, wherein A¹ is an L- α -amino acid fragment
2 derived from L-proline; and A² is an L- α -amino acid fragment derived from L-*tert*-
3 butylglycine.

1 **30.** The compound of claim 1, having the formula:



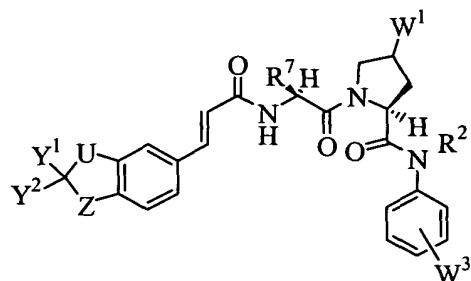
2 wherein

4 W¹ is a member selected from the group consisting of -H, -OR¹⁵ and
5 -NR¹⁵R¹⁶;

6 W² and W³ are each members independently selected from the group
7 consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;
8 wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from
9 the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl,
10 aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

11 U and Z are each members independently selected from the group consisting
12 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

1 **31.** The compound of claim 1, having the formula:



2 wherein

3 R² is a member selected from the group consisting of substituted or
4 unsubstituted (C₁-C₈)alkyl;

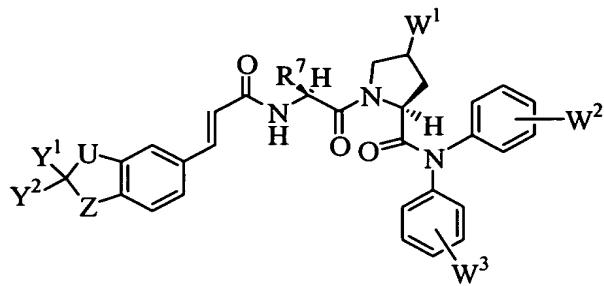
5 W¹ is a member selected from the group consisting of -H, -OR¹⁵ and
6 -NR¹⁵R¹⁶;

7 W² is a member selected from the group consisting of hydrogen, halogen,
8 -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;

9 wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from
10 the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl,
11 aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

12 U and Z are each members independently selected from the group consisting
13 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

1 **32.** The compound of claim 1, having the formula:



wherein

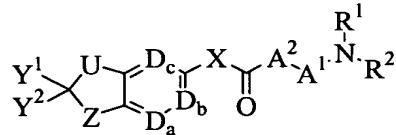
4 W¹ is a member selected from the group consisting of -H, -OR¹⁵ and
 5 -NR¹⁵R¹⁶;

6 W² and W³ are each members independently selected from the group
 7 consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;

8 wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from
 9 the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl,
 10 aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

11 U and Z are each members independently selected from the group consisting
 12 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

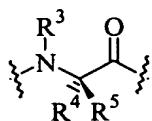
1 33. A pharmaceutical composition comprising a pharmaceutically
 2 acceptable excipient and a compound having the formula:



wherein

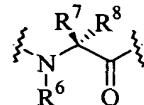
5 R¹ and R² are each members independently selected from the group consisting
 6 of hydrogen, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl, heteroaryl, aryl(C₁-C₈)alkyl, aryl(C₁-
 7 C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl, and heteroaryl(C₁-C₈)heteroalkyl, with the proviso
 8 that at least one of R¹ and R² is selected from the group consisting of aryl, heteroaryl,
 9 aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl and heteroaryl(C₁-
 10 C₈)heteroalkyl;

11 A¹ is a member selected from the group consisting of L- α -amino acid
 12 fragments, D- α -amino acid fragments and fragments having the formula:



wherein

15 R³ is selected from the group consisting of hydrogen and (C₁-C₄) alkyl;
16 R⁴ and R⁵ are each members independently selected from the group
17 consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be
18 individually combined with R³ to form a 5-, 6-, 7- or 8-membered ring containing from one to
19 three heteroatoms;
20 A² is a member selected from the group consisting of L- α -amino acid
21 fragments, D- α -amino acid fragments and fragments having the formula:



22 wherein

23 R⁶ is selected from the group consisting of hydrogen and (C₁-C₄)alkyl;
24 R⁷ and R⁸ are each members independently selected from the group
25 consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be
26 combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to
27 three heteroatoms;

28 X is a member selected from the group consisting of a bond, a (C₁-C₄)
29 saturated or unsaturated alkylene linking group and a (C₁-C₄) saturated or unsaturated
30 heteroalkylene linking group;

31 D_a, D_b and D_c are each independently selected from the group consisting of
32 =N- and =C(R⁹)-

33 wherein

34 each R⁹ is independently selected from the group consisting of hydrogen,
35 halogen, cyano, nitro, (C₁-C₆)alkyl, (C₁-C₆)heteroalkyl, (C₁-C₆)alkoxy, (C₁-C₆)thioalkoxy, -
36 NR¹⁰R¹¹, -C(O)OR¹⁰, -C(O)NR¹⁰R¹¹, -O-C(O)OR¹⁰, -NR¹¹-C(O)OR¹⁰, -NR¹⁰-SO₂R¹², -NR¹⁰-
37 C(O)R¹¹, -SO₂NR¹⁰R¹¹, and -OC(O)NR¹⁰R¹¹;

38 wherein

39 each R¹⁰ and R¹¹ are each independently a member selected from the group
40 consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or when attached to the same
41 nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring
42 containing from zero to three heteroatoms; and

43 each R¹² is independently a member selected from the group consisting of (C₁-
44 C₈)alkyl, (C₁-C₈)heteroalkyl, aryl and heteroaryl;

46 U and Z are each independently selected from the group consisting of a single
47 bond, -CH₂-, -CH(OH)-, -C(O)-, -CH₂O-, -CH₂CH₂-, -CH₂C(O)-, -O-, -S-, -S-CH₂-,
48 -N(C(O)-(C₁-C₉)alkyl)-, -N(R¹³)- and -N(R¹³)-CH₂-,

49 wherein

50 R¹³ is a member selected from the group consisting of H, (C₁-C₈)alkyl, aryl
51 and (C₁-C₈)heteroalkyl;

52 Y¹ and Y² are each independently selected from the group consisting of -
53 CO₂H and -CO₂R¹⁴

54 wherein

55 R¹⁴ is a member selected from the group consisting of (C₁-C₉)alkyl,
56 (C₁-C₉) heteroalkyl, or, alternatively, when Y¹ and Y² are each -CO₂R¹⁴, each R¹⁴ and the
57 oxygen to which it is attached, join to form a 5-, 6-, 7-, or 8-membered heterocyclic ring.

34. The pharmaceutical composition of claim 33, wherein D_a, D_b and D_c
are each =CH-.

35. The pharmaceutical composition of claim 33, wherein X is a (C₂-C₄)
unsaturated alkylene linking group.

36. The pharmaceutical composition of claim 33, wherein A¹ is selected
from the group consisting of L- α -amino acid fragments.

37. The pharmaceutical composition of claim 33, wherein A² is selected
from the group consisting of L- α -amino acid fragments.

38. The pharmaceutical composition of claim 33, wherein A¹ and A² are
each independently selected from the group consisting of L- α -amino acid fragments.

39. The pharmaceutical composition of claim 33, wherein A¹ and A² are
each independently selected from the group consisting of L- α -amino acid fragments; X is a
(C₂-C₄) unsaturated alkylene linking group; and D_a, D_b and D_c are each =CH-.

40. The pharmaceutical composition of claim 33, wherein U is selected
from the group consisting of -CH₂- and -CH(OH)-.

41. The pharmaceutical composition of claim 33, wherein Z is selected
from the group consisting of -CH₂-, -O-, -NH- and -S-.

1 **42.** The pharmaceutical composition of claim 33, wherein U is selected
2 from the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group
3 consisting of -CH₂-, -O-, -NH- and -S-.

1 **43.** The pharmaceutical composition of claim 33, wherein A¹ and A² are
2 each independently selected from the group consisting of a natural or unnatural L- α -amino
3 acid fragments; X is a (C₂-C₄) unsaturated alkylene linking group; D_a, D_b and D_c are each
4 =CH-; U is selected from the group consisting of -CH₂- and -CH(OH)-; and Z is selected
5 from the group consisting of -CH₂-, -O-, -NH- and -S-.

1 **44.** The pharmaceutical composition of claim 43, wherein X is an
2 unsaturated alkylene moiety selected from the group consisting of -C(CH₃)=CH and -
3 CH=C(CH₃).
4
5

1 **45.** The pharmaceutical composition of claim 33, wherein R¹ and R² are
2 each members independently selected from the group consisting of (C₁-C₈)alkyl, aryl and
3 aryl(C₁-C₈)alkyl.
4
5

1 **46.** The pharmaceutical composition of claim 43, wherein R¹ and R² are
2 each members independently selected from the group consisting of (C₁-C₈)alkyl, aryl and
3 aryl(C₁-C₈)alkyl.
4
5

1 **47.** The pharmaceutical composition of claim 33, wherein R¹ is an
2 optionally substituted phenyl group.
3
4

1 **48.** The pharmaceutical composition of claim 33, wherein R¹ is an
2 optionally substituted phenyl group and R² is an optionally substituted benzyl group.
3
4

1 **49.** The pharmaceutical composition of claim 43, wherein R¹ is an
2 optionally substituted phenyl group.
3
4

1 **50.** The pharmaceutical composition of claim 43, wherein R¹ is an
2 optionally substituted phenyl group and R² is an optionally substituted benzyl group.
3
4

1 **51.** The pharmaceutical composition of claim 33, wherein R¹ is an
2 optionally substituted (C₁-C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally
3 substituted phenyl or benzyl group.
4
5

1 **52.** The pharmaceutical composition of claim 33, wherein R¹ is a phenyl
2 group substituted with up to two members selected from the group consisting of -NHCONH₂,
3 -C(NH)NH₂, -CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -
4 CH₂NHCO-CH=CH-(3-nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph,
5 -OPh, -CON(CH₃)₂, -C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).

1 **53.** The pharmaceutical composition of claim 43, wherein R¹ is an
2 optionally substituted (C₁-C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally
3 substituted phenyl or benzyl group.

1 **54.** The pharmaceutical composition of claim 43, wherein R¹ is a phenyl
2 group substituted with up to two members selected from the group consisting of -NHCONH₂,
3 -C(NH)NH₂, -CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -
4 CH₂NHCO-CH=CH-(3-nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph,
5 -OPh, -CON(CH₃)₂, -C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).

1 **55.** The pharmaceutical composition of claim 43, wherein Z is -O-; R¹ is a
2 member selected from the group consisting of an optionally substituted phenyl group or an
3 optionally substituted heteroaryl; and R² is a member selected from the group consisting of
4 (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-
5 C₈)alkyl and heteroaryl(C₁-C₈)heteroalkyl.

1 **56.** The pharmaceutical composition of claim 36, wherein A¹ is an L- α -
2 amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-
3 proline.

1 **57.** The pharmaceutical composition of claim 37, wherein A² is an L- α -
2 amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine,
3 L-threonine and L-*tert*-butylglycine.

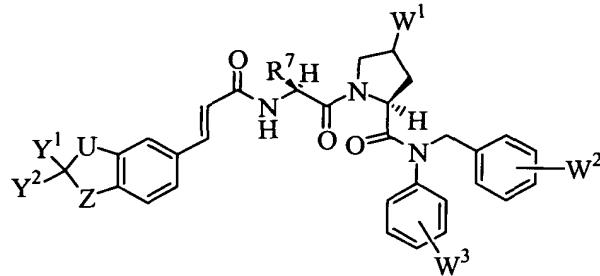
1 **58.** The pharmaceutical composition of claim 43, wherein A¹ is an L- α -
2 amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-
3 proline; and A² is an L- α -amino acid fragment derived from L-valine, L-leucine, L-
4 methionine, L-lysine, L-isoluecine, L-threonine and L-*tert*-butylglycine.

1 **59.** The pharmaceutical composition of claim **58**, wherein R¹ and R² are
2 each members independently selected from the group consisting of substituted or
3 unsubstituted (C₁-C₈)alkyl, substituted or unsubstituted aryl and substituted or unsubstituted
4 aryl(C₁-C₈)alkyl.

1 **60.** The pharmaceutical composition of claim **59**, wherein A¹ is an L- α -
2 amino acid fragment derived from L-alanine or L-proline; and A² is an L- α -amino acid
3 fragment derived from L-valine, L-leucine, L-isoluecine, or L-*tert*-butylglycine.

1 **61.** The pharmaceutical composition of claim **59**, wherein A¹ is an L- α -
2 amino acid fragment derived from L-proline; and A² is an L- α -amino acid fragment derived
3 from L-*tert*-butylglycine.

1 **62.** The pharmaceutical composition of claim **33**, said compound having
2 the formula:



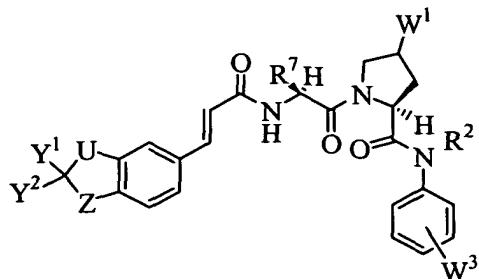
4 wherein

5 W¹ is a member selected from the group consisting of -H, -OR¹⁵ and
6 -NR¹⁵R¹⁶;

7 W² and W³ are each members independently selected from the group
8 consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;
9 wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from
10 the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl,
11 aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

12 U and Z are each members independently selected from the group consisting
13 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

1 **63.** The pharmaceutical composition of claim **33**, said compound having
2 the formula:



4 wherein

5 R^2 is a member selected from the group consisting of substituted or
6 unsubstituted (C_1 - C_8)alkyl;

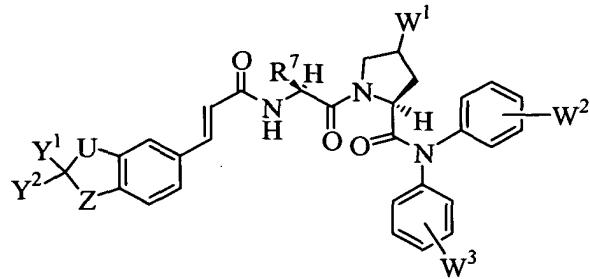
7 W^1 is a member selected from the group consisting of $-H$, $-OR^{15}$ and
8 $-NR^{15}R^{16}$;

9 W^2 is a member selected from the group consisting of hydrogen, halogen,
10 $-R^{17}$, $-CO_2R^{17}$, $-OR^{17}$, $-NR^{17}R^{18}$ and $-CONR^{17}R^{18}$;

11 wherein R^{15} , R^{16} , R^{17} and R^{18} are each members independently selected from
12 the group consisting of hydrogen, aryl, (C_1 - C_8)alkyl, (C_1 - C_8)heteroalkyl, aryl(C_1 - C_8)alkyl,
13 aryl(C_1 - C_8)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

14 U and Z are each members independently selected from the group consisting
15 of $-CH_2-$, $-CH(OH)-$, $-C(O)-$, $-O-$, $-S-$ and $-N(R^{13})-$.

1 64. The pharmaceutical composition of claim 33, said compound having
2 the formula:



4 wherein

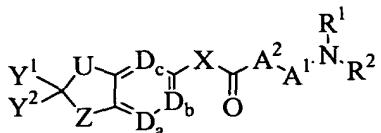
5 W^1 is a member selected from the group consisting of $-H$, $-OR^{15}$ and
6 $-NR^{15}R^{16}$;

7 W^2 and W^3 are each members independently selected from the group
8 consisting of hydrogen, halogen, $-R^{17}$, $-CO_2R^{17}$, $-OR^{17}$, $-NR^{17}R^{18}$ and $-CONR^{17}R^{18}$;

9 wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from
10 the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl,
11 aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

12 U and Z are each members independently selected from the group consisting
13 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

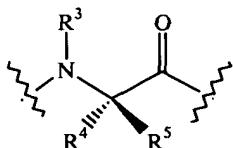
1 65. A method for modulating a STAT6-dependent condition in a host,
2 comprising administering to said host a STAT6-modulating amount of a compound of the
3 formula:



14 R¹ and R² are each members independently selected from the group consisting
15 of hydrogen, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl, heteroaryl, aryl(C₁-C₈)alkyl, aryl(C₁-
16 C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl, and heteroaryl(C₁-C₈)heteroalkyl, with the proviso
17 that at least one of R¹ and R² is selected from the group consisting of aryl, heteroaryl,
18 aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl and heteroaryl(C₁-
19 C₈)heteroalkyl;

20 A¹ is a member selected from the group consisting of L- α -amino acid

21 fragments, D- α -amino acid fragments and fragments having the formula:



31 wherein

32 R³ is selected from the group consisting of hydrogen and (C₁-C₄) alkyl;

33 R⁴ and R⁵ are each members independently selected from the group

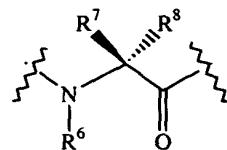
34 consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be

35 individually combined with R³ to form a 5-, 6-, 7- or 8-membered ring containing from one to
36 three heteroatoms;

37 A² is a member selected from the group consisting of L- α -amino acid

38 fragments, D- α -amino acid fragments and fragments having the formula:

23



24 wherein

25 R^6 is selected from the group consisting of hydrogen and (C_1 - C_4)alkyl;
26 R^7 and R^8 are each members independently selected from the group
27 consisting of hydrogen, (C_1 - C_8)alkyl and (C_1 - C_8)heteroalkyl, or can be
28 combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to
29 three heteroatoms;

30 X is a member selected from the group consisting of a bond, a (C_1 - C_4)
31 saturated or unsaturated alkylene linking group and a (C_1 - C_4) saturated or unsaturated
32 heteroalkylene linking group;

33 D_a , D_b and D_c are each independently selected from the group consisting of
34 $=N-$ and $=C(R^9)-$

35 wherein

36 each R^9 is independently selected from the group consisting of hydrogen,
37 halogen, cyano, nitro, (C_1 - C_6)alkyl, (C_1 - C_6)heteroalkyl, (C_1 - C_6)alkoxy, (C_1 - C_6)thioalkoxy, -
38 $NR^{10}R^{11}$, $-C(O)OR^{10}$, $-C(O)NR^{10}R^{11}$, $-O-C(O)OR^{10}$, $-NR^{11}-C(O)OR^{10}$, $-NR^{10}-SO_2R^{12}$, $-NR^{10}-$
39 $C(O)R^{11}$, $-SO_2NR^{10}R^{11}$, and $-OC(O)NR^{10}R^{11}$;

40 wherein

41 each R^{10} and R^{11} are each independently a member selected from the group
42 consisting of hydrogen, (C_1 - C_8)alkyl and (C_1 - C_8)heteroalkyl, or when attached to the same
43 nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring
44 containing from zero to three heteroatoms; and

45 each R^{12} is independently a member selected from the group consisting of (C_1 -
46 C_8)alkyl, (C_1 - C_8)heteroalkyl, aryl and heteroaryl;

47 U and Z are each independently selected from the group consisting of a single
48 bond, $-CH_2-$, $-CH(OH)-$, $-C(O)-$, $-CH_2O-$, $-CH_2CH_2-$, $-CH_2C(O)-$, $-O-$, $-S-$, $-S-CH_2-$, $-N(C(O)-$
49 (C_1-C_9) alkyl)-, $-N(R^{13})-$ and $-N(R^{13})-CH_2-$;

50 wherein

51 each R^{13} is a member selected from the group consisting of hydrogen, (C_1 -
52 C_8)alkyl, aryl and (C_1 - C_8)heteroalkyl;

53 Y¹ and Y² are each independently selected from the group consisting of –
54 CO₂H and -CO₂R¹⁴; and

55 R¹⁴ is a member selected from the group consisting of (C₁-C₉)alkyl, and (C₁-
56 C₉)heteroalkyl, or, alternatively, when Y¹ and Y² are each -CO₂R¹⁴, each R¹⁴ and the oxygen
57 to which it is attached, join to form a 5-, 6-, 7- or 8-membered heterocyclic ring.

1 **66.** The method of claim 65, wherein D_a, D_b and D_c are each =CH-.

1 **67.** The method of claim 65, wherein X is a (C₂-C₄) unsaturated alkylene
2 linking group.

1 **68.** The method of claim 65, wherein A¹ is selected from the group
2 consisting of L- α -amino acid fragments.

1 **69.** The method of claim 65, wherein A² is selected from the group
2 consisting of L- α -amino acid fragments.

1 **70.** The method of claim 65, wherein A¹ and A² are each independently
2 selected from the group consisting of L- α -amino acid fragments.

1 **71.** The method of claim 65, wherein A¹ and A² are each independently
2 selected from the group consisting of L- α -amino acid fragments; X is a (C₂-C₄) unsaturated
3 alkylene linking group; and D_a, D_b and D_c are each =CH-.

1 **72.** The method of claim 65, wherein U is selected from the group
2 consisting of -CH₂- and -CH(OH)-.

1 **73.** The method of claim 65, wherein Z is selected from the group
2 consisting of -CH₂-, -O-, -NH- and -S-.

1 **74.** The method of claim 65, wherein U is selected from the group
2 consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of -CH₂-, -O-
3 , -NH- and -S-.

1 **75.** The method of claim 65, wherein A¹ and A² are each independently
2 selected from the group consisting of a natural or unnatural L- α -amino acid fragments; X is a
3 (C₂-C₄) unsaturated alkylene linking group; D_a, D_b and D_c are each =CH-; U is selected from

4 the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of
5 -CH₂-, -O-, -NH- and -S-.

1 **76.** The method of claim 75, wherein X is an unsaturated alkylene moiety
2 selected from the group consisting of -C(CH₃)=CH and -CH=C(CH₃).

1 **77.** The method of claim 65, wherein R¹ and R² are each members
2 independently selected from the group consisting of (C₁-C₈)alkyl, aryl and aryl(C₁-C₈)alkyl.

1 **78.** The method of claim 75, wherein R¹ and R² are each members
2 independently selected from the group consisting of (C₁-C₈)alkyl, aryl and aryl(C₁-C₈)alkyl.

1 **79.** The method of claim 65, wherein R¹ is an optionally substituted phenyl
2 group.

1 **80.** The method of claim 65, wherein R¹ is an optionally substituted phenyl
2 group and R² is an optionally substituted benzyl group.

1 **81.** The method of claim 75, wherein R¹ is an optionally substituted phenyl
2 group.

1 **82.** The method of claim 75, wherein R¹ is an optionally substituted phenyl
2 group and R² is an optionally substituted benzyl group.

1 **83.** The method of claim 65, wherein R¹ is an optionally substituted (C₁-
2 C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally substituted phenyl or benzyl
3 group.

1 **84.** The method of claim 65, wherein R¹ is a phenyl group substituted with
2 up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -
3 CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-
4 nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -
5 C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).

1 **85.** The method of claim 75, wherein R¹ is an optionally substituted (C₁-
2 C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally substituted phenyl or benzyl
3 group.

1 **86.** The method of claim **75**, wherein R¹ is a phenyl group substituted with
2 up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -
3 CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-
4 nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -
5 C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).

1 **87.** The method of claim **75**, wherein Z is -O-; R¹ is a member selected
2 from the group consisting of an optionally substituted phenyl group or an optionally
3 substituted heteroaryl; and R² is a member selected from the group consisting of (C₁-C₈)alkyl,
4 (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl and
5 heteroaryl(C₁-C₈)heteroalkyl.

1 **88.** The method of claim **68**, wherein A¹ is an L- α -amino acid fragment
2 derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline.

1 **89.** The method of claim **69**, wherein A² is an L- α -amino acid fragment
2 derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-
3 *tert*-butylglycine.

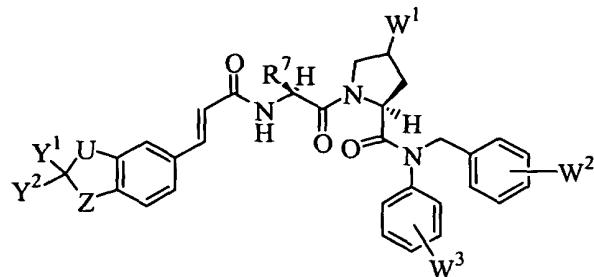
1 **90.** The method of claim **75**, wherein A¹ is an L- α -amino acid fragment
2 derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline; and A² is an L- α -
3 amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine,
4 L-threonine and L-*tert*-butylglycine.

1 **91.** The method of claim **90**, wherein R¹ and R² are each members
2 independently selected from the group consisting of substituted or unsubstituted (C₁-C₈)alkyl,
3 substituted or unsubstituted aryl and substituted or unsubstituted aryl(C₁-C₈)alkyl.

1 **92.** The method of claim **91**, wherein A¹ is an L- α -amino acid fragment
2 derived from L-alanine or L-proline; and A² is an L- α -amino acid fragment derived from L-
3 valine, L-leucine, L-isoluecine, or L-*tert*-butylglycine.

1 **93.** The method of claim **91**, wherein A¹ is an L- α -amino acid fragment
2 derived from L-proline; and A² is an L- α -amino acid fragment derived from L-*tert*-
3 butylglycine.

1 **94.** The method of claim 65, wherein said compound has the formula:



2

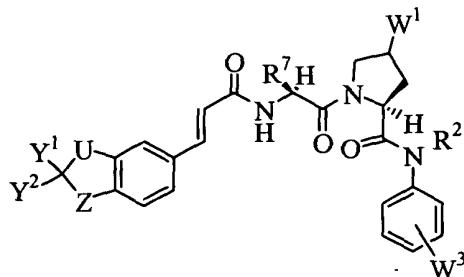
3 wherein

4 W^1 is a member selected from the group consisting of -H, -OR¹⁵ and
5 -NR¹⁵R¹⁶;

6 W^2 and W^3 are each members independently selected from the group
7 consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;
8 wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from
9 the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl,
10 aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

11 U and Z are each members independently selected from the group consisting
12 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

1 **95.** The method of claim 65, wherein said compound has the formula:



2

3 wherein

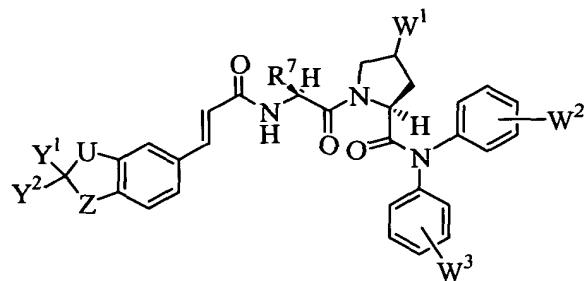
4 R² is a member selected from the group consisting of substituted or
5 unsubstituted (C₁-C₈)alkyl;

6 W^1 is a member selected from the group consisting of -H, -OR¹⁵ and
7 -NR¹⁵R¹⁶;

8 W^2 is a member selected from the group consisting of hydrogen, halogen,
9 -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;

10 wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from
11 the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl,
12 aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;
13 U and Z are each members independently selected from the group consisting
14 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

1 96. The method of claim 65, wherein said compound has the formula:



2 wherein

3 W¹ is a member selected from the group consisting of -H, -OR¹⁵ and
4 -NR¹⁵R¹⁶;

5 W² and W³ are each members independently selected from the group
6 consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;

7 wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from
8 the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl,
9 aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

10 U and Z are each members independently selected from the group consisting
11 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

1 97. A method in accordance with claim 65, wherein said STAT6-
2 dependent condition is selected from the group consisting of allergic rhinitis, asthma, atopic
3 dermatitis, contact dermatitis, anaphylaxis, food or drug induced allergy, conjunctivitis,
4 uveitis, hypersensitivity reactions, alveolitis, psoriasis, Churg-Strauss syndrome, delayed-
5 type hypersensitivity, urticaria, angiodema, eczema, scleroderma, and systemic lupus
6 erythematosus.

1 98. A method for treating a condition in a host, comprising administering
2 to said host an effective amount of a compound of claim 1, wherein said condition is selected
3 from the group consisting of allergic rhinitis, asthma, atopic dermatitis, contact dermatitis,
4 anaphylaxis, food or drug induced allergy, conjunctivitis, uveitis, hypersensitivity reactions,

5 alveolitis, psoriasis, Churg-Strauss syndrome, delayed-type hypersensitivity, urticaria,
6 angiodema, eczema, scleroderma, and systemic lupus erythematosus.

1 **99.** The method in accordance with claim 98, wherein said compound of
2 claim 1 is administered in combination with a second therapeutic agent.

1 **100.** The method in accordance with claim 99, wherein said second
2 therapeutic agent is selected from the group consisting of loratadine, fluticasone propionate,
3 beclametasone dipropionate, budesonide, salmeterol xinafoate, ipratropium bromide,
4 fexofenadine hydrochloride, cetirizine dihydrochloride, triamcinolone acetonide, cromolyn,
5 salbutamol, montelukast sodium, ketotifen hydrogen fumarate, formoterol, zafirlukast,
6 momefasone furoate, azelastine hydrochloride, epinastine, seratrodast, captopril, ramipril,
7 zofenopril, colchicine, enalapril, lisinopril, trandolapril, gold sodium thiomalate,
8 calcipotriene, cyclosporine, vinblastine and dapsone.

1 **101.** The method in accordance with claim 99, wherein said compound of
2 claim 1 and said second therapeutic agent are administered sequentially.

1 **102.** A method in accordance with claim 99, wherein said compound of
2 claim 1 and said second therapeutic agent are administered concurrently.

1 **103.** A method in accordance with claim 99, wherein said compound of
2 claim 1 and said second therapeutic agent are each administered at dosages of from 1/100 to
3 1/2 of their dosages when administered individually.

1 **104.** A method in accordance with claim 99, wherein said compound of
2 claim 1 and said second therapeutic agent are each administered at dosages of from 1/10 to
3 1/4 of their dosages when administered individually.